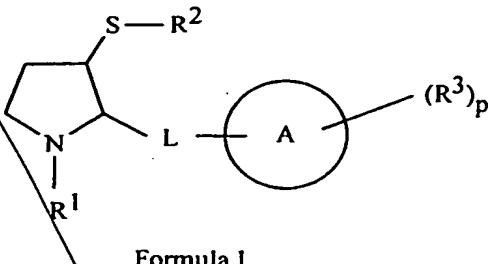


CLAIMS

1. A compound of the Formula I



5 wherein:

R^1 is selected from H; -C₁₋₄alkyl; -CO-C₁₋₄alkyl; -CO-O-C₁₋₄alkyl; -CO-O-C₂₋₄alkenyl; -C₁₋₄alkylene-CONR⁴R⁵ (wherein R⁴ and R⁵ are independently selected from H and C₁₋₄alkyl); -C₁₋₄alkylene-COOR⁶ (wherein R⁶ is selected from H and C₁₋₄alkyl); -C₁₋₃alkylene-Ph and -CO-O(CH₂)_nPh wherein the phenyl groups in -C₁₋₃alkylene-Ph and -CO-O(CH₂)_nPh are optionally substituted by R^a and/or R^b and R^a and R^b are independently selected from C₁₋₄alkyl, halogen, hydroxy, C₁₋₄alkoxy, C₁₋₄alkanoyl, C₁₋₄alkanoyloxy, amino, C₁₋₄alkylamino, di(C₁₋₄alkyl)amino, C₁₋₄alkanoylamino, nitro, cyano, carboxy, carbamoyl, C₁₋₄alkoxycarbonyl, thiol, C₁₋₄alkylsulfanyl, C₁₋₄alkylsulfinyl, C₁₋₄alkylsulfonyl and sulfonamido; and n=0-4;

10 R^2 is selected from H; -C₁₋₄alkyl; -CO-C₁₋₄alkyl; and -COOC₁₋₄alkyl; and -C₁₋₃alkylene-Ph optionally substituted on the phenyl ring by R^a and/or R^b; R^3 is selected from H; OH; CN; CF₃; NO₂; -C₁₋₄alkyl; -C₁₋₄alkylene-R⁷; -C₂₋₄alkenylene-R⁷; -C₂₋₄alkynylene-R⁷; R⁷; OR⁷ (where R⁷ is selected from phenyl, naphthyl, a 5-10 membered monocyclic or bicyclic heteroaryl ring containing upto 5 heteroatoms

20 selected from O, N and S and any aryl ring in R⁷ is optionally substituted by R^a and/or R^b; C₂₋₄alkenyl; halogen; -(CH₂)_nCOOR⁸ (where n=0-3 and R⁸ represents H, C₁₋₄alkyl, or C₂₋₄alkenyl); -CONR⁹R¹⁰ (where R⁹ and R¹⁰ independently represent H, C₁₋₄alkyl, C₂₋₄alkenyl, -O-C₁₋₄alkyl, -O-C₂₋₄alkenyl or -C₁₋₃alkylene-Ph (wherein Ph is optionally substituted by R^a and R^b as hereinabove defined); -CON(R¹¹)OR¹² (where R¹¹ and R¹²

25 independently represent H, C₁₋₄alkyl or C₂₋₄alkenyl); a group of Formula II: -CONR¹³-CR^{13a}R¹⁴-COOR¹⁷, (where R¹³ and R^{13a} are independently H or C₁₋₄alkyl, R¹⁷ is H or C₁₋₆alkyl, R¹⁴ is selected from the side chain of a lipophilic

amino acid, carbamoylC₁₋₄alkyl, N-(monoC₁₋₄alkyl)carbamoylC₁₋₄alkyl and N-(diC₁₋₄alkyl)carbamoylC₁₋₄alkyl) the group of Formula II having L or D configuration at the chiral alpha carbon in the corresponding free amino acid; a lactone of formula:

$$\begin{array}{c}
 \text{-CON} \\
 | \\
 \text{R}^{13} \\
 | \\
 \text{Cyclopentane ring} \\
 | \\
 \text{O}
 \end{array}$$

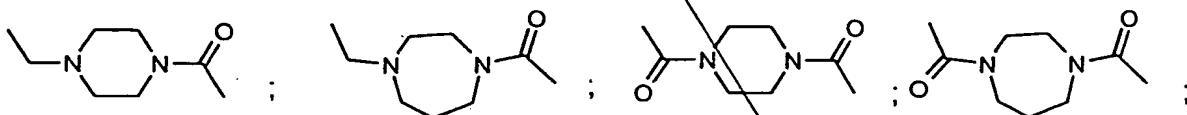
5

C₁₋₄alkyl monosubstituted on carbon with =N-OH:

a group of Formula -X-R¹⁵ (where X is selected from O, CO, CH₂, S, SO, SO₂ and R¹⁵ is selected from C₁₋₆alkyl, phenyl, naphthyl, a 5-10 membered monocyclic or bicyclic heteroaryl ring containing upto 5 heteroatoms selected from O,N and S and any aryl ring in 10 R¹⁵ is optionally substituted by R^a and/or R^b;

p is 0-3 in which R^3 values can be the same or different:

L is a linking moiety selected from the following groups written from left to right in Formula I:



15 (wherein the piperazine and perhydro-1,4-diazepine rings are optionally substituted);
-CO-NR¹⁶-; -CH₂-NR¹⁶-; -CH₂S-; -CH₂O-; -CH₂-CHR¹⁶; -CH=CR¹⁶-; -CH₂NR¹⁶-T-;
-CH₂NR¹⁶-SO₂-; -CH₂-NR¹⁶-CO-T¹-; -CO-NR¹⁶-T-; -CH₂S-T-; -CH₂O-T- (where R¹⁶ is selected from H, C₁₋₄alkyl, C₁₋₄alkylene-Z, -CO-C₁₋₄alkylene-Z, -CO-C₁₋₆alkyl, -COZ, Z and Z is selected from -O-C₁₋₄alkyl, phenyl, naphthyl, a 5-10 membered monocyclic or
20 bicyclic heteroaryl ring containing upto 5 heteroatoms selected from O, N and S and any aryl ring in R¹⁶ is optionally substituted by R^a and/or R^b as hereinabove defined;
where, T represents -(CH₂)_m- where m is 1-4 and T is optionally monosubstituted with any value of R¹⁶ other than H; and
where T¹ represents -(CH₂)^m¹- wherein m¹ is 0-4 and T is optionally monosubstituted with
25 any value of R¹⁶ other than H);

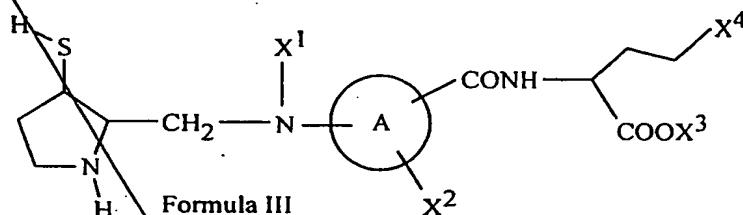
BR
cont:
 A is selected from phenyl; naphthyl; a 5-10 membered monocyclic or bicyclic heteroaryl ring containing upto 5 heteroatoms where the heteroatoms are independently selected from O, N &

or a -S-S- dimer thereof when $R^2=H$; or a N-oxide thereof;

5 or a pharmaceutically acceptable salt, prodrug or solvate thereof.

Reb3
 2. A compound according to claim 1 wherein L is $-CH_2N(R^{16})-$ or $-CH_2N(R^{16})T-$.
 3. A compound according to either claim 1 or claim 2 wherein A is phenyl or naphthyl.
 4. A compound according to claim 1 of the formula (III):

10



wherein:

X^1 is selected from H; C₁-6alkyl; hydroxyC₁-6alkyl, C₁-6alkoxyC₁-6alkyl; C₁-6alkylcarbonyl; hydroxyC₁-6alkylcarbonyl; C₁-6alkoxyC₁-6alkylcarbonyl;

15 A is selected from phenyl, naphthyl or a 5-10 membered heterocyclic ring having upto 5 heteroatoms selected from O, N and S;

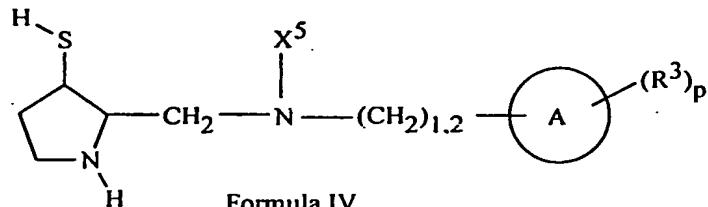
X^2 is selected from H; phenyl; phenylC₁-6alkyl, a 5-6 membered heteroaryl ring containing upto 3 heteroatoms selected from O, N and S optionally linked to A by C₁-6alkyl; and X^2 is optionally substituted on any ring by R^a and/or R^b as defined in claim 1;

20 X^3 is selected from H; C₁-6alkyl;

X^4 is selected from C₁-6alkylsulfanyl; C₁-6alkylsulfinyl; C₁-6alkylsulfonyl; carbamoyl; N-(C₁-6alkyl)carbamoyl; N-(diC₁-6alkyl)carbamoyl; and hydroxy or a C₁-4alkyl ether thereof; or a N-oxide pharmaceutically-acceptable salt, prodrug or solvate thereof.

5. A compound according to claim 1 of the formula (IV):

25



wherein:

X^5 is selected from C_{1-4} alkyloxy C_{1-4} alkyl; $-C_{1-4}$ alkylPh; $-CO-C_{1-4}$ alkyl-Ph; $-CO-C_{1-6}$ alkyl; $-CO-C_{1-4}$ alkyl-heteroaryl where heteroaryl is a 5-10 membered heteroaryl ring containing

5 upto 5 heteroatoms selected from O, N and S and Ph or heteroaryl are optionally substituted by R^a and/or R^b as defined in claim 1;

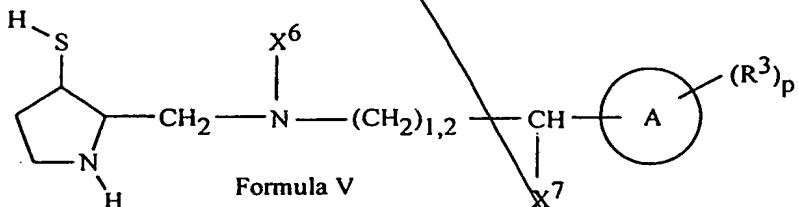
C_{1-4} alkyloxy C_{1-4} alkyl;

A is naphthyl or a 10 membered heteroaryl ring having upto 5 heteroatoms selected from O, N and S;

10 R^3 and p are as defined in claim 1;

or a N-oxide or a pharmaceutically-acceptable salt, prodrug or solvate thereof.

6. A compound according to claim 1 of the formula (V):



15 wherein:

X^6 has any value defined for X^5 in claim 5;

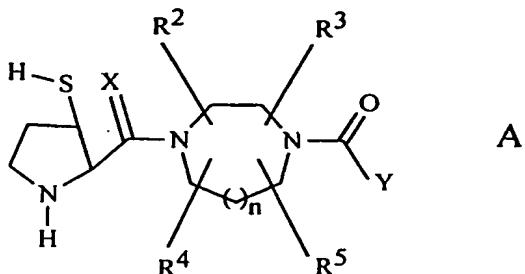
X^7 is Ph optionally substituted by R^a and/or R^b as defined in claim 1;

A is Ph or naphthyl or a 5-10 membered heteroaryl ring having upto 5 heteroatoms selected from O, N and S;

20 R^3 and p are as defined in claim 1;

or a N-oxide, or a pharmaceutically acceptable salt, prodrug or solvate thereof.

para B 7. A compound of the formula A:



wherein:

5 X is O or H₂;

n is 0 or 1;

t is 1 to 4;

R², R³, R⁴, and R⁵ are independently selected from: H; C₁-8alkyl, alkenyl, alkynyl, aryl, heterocycle, -CO-NR⁶R⁷ or -CO-OR⁶, unsubstituted or substituted with one or more of:

10 1) aryl or heterocycle, unsubstituted or substituted with:

- a. C₁-4alkyl,
- b. (CH₂)_tOR⁶,
- c. (CH₂)_tNR⁶R⁷,
- d. halogen,

15 2) C₃-6cycloalkyl,

3) OR⁶,

4) SR⁶, S(O)R⁶, SO₂R⁶,

5) -NR⁶R⁷,

6) -NR⁶-CO-R⁷,

20 7) -NR⁶-CO-NR⁷R⁸,

8) -O-CO-NR⁶R⁷,

9) -O-CO-OR⁶,

10) -O-NR⁶R⁷,

11) -SO₂NR⁶R⁷,

25 12) -NR⁶-SO₂-R⁷,

13) -CO-R⁶, or

14) $-\text{CO-OR}^{6'}$;

and any two of $\text{R}^{2'}$, $\text{R}^{3'}$, $\text{R}^{4'}$, and $\text{R}^{5'}$ are optionally attached to the same carbon atom;

Y is aryl, heterocycle, unsubstituted or substituted with one or more of:

B 4
1) *cont 5* C1-4alkyl , unsubstituted or substituted with:

- a. C1-4alkoxy ,
- b. $\text{NR}^{6'}\text{R}^{7'}$,
- c. C3-6cycloalkyl ,
- d. aryl or heterocycle,
- e. HO,

10 2) aryl or heterocycle,

3) halogen,

4) $\text{OR}^{6'}$,

5) $\text{NR}^{6'}\text{R}^{7'}$,

6) CN

15 7) NO_2 , or

8) CF_3 ;

$\text{R}^{6'}$, $\text{R}^{7'}$ and $\text{R}^{8'}$ are independently selected from: H; C1-4alkyl , C3-6cycloalkyl , heterocycle, aryl, aroyl, heteroaroyl, arylsulfonyl, heteroarylsulfonyl, unsubstituted or substituted with:

20 a) C1-4alkoxy ,

b) aryl or heterocycle,

c) halogen,

d) HO,

e) $-\text{CO-R}^{9'}$,

25 f) $-\text{SO}_2\text{R}^{9'}$, or

g) NRR^1 , wherein

$\text{R}^{6'}$ and $\text{R}^{7'}$ may be joined in a ring, and

$\text{R}^{7'}$ and $\text{R}^{8'}$ may be joined in a ring;

30 $\text{R}^{9'}$ is C1-4alkyl or aralkyl;

a pharmaceutically acceptable salt thereof.

a 8. A compound according to claim 1 which is any one of the following individual compounds or a pharmaceutically acceptable salt thereof: *selected from the group consisting of*.

a (2S)-2-{2-benzyl-5-[(*cis*)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-

5 methylsulfanylbutyric acid methyl ester ;

(2S)-2-{2-benzyl-5-[(*cis*)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4- methylsulfanylbutyric acid ;

(2S)-2-({2-phenyl-5-[(*cis*)-3-sulfanylpyrrolidin-2-ylmethylamino]-phenylcarbonyl}-amino)-4- methylsulfanylbutyric acid methyl ester;

10 (2S)-2-({2-phenyl-5-[(*cis*)-3-sulfanylpyrrolidin-2-ylmethylamino]-phenylcarbonyl}-amino)-4- methylsulfanylbutyric acid;

(2S)-2-[(*cis*)-3-sulfanylpyrrolidin-2-ylmethylamino]-naphthalene-1-carbonyl}-amino)-4- methylsulfanylbutyric acid methyl ester ;

(2S)-2-({3-[(*cis*)-3-sulfanylpyrrolidin-2-ylmethyl]amino]-naphthalene-1-carbonyl}-amino)-4-

15 methylsulfanylbutyric acid ;

(2S)-2-({-3-phenyl-5-[(*cis*)-3-sulfanylpyrrolidin-2-ylmethylamino]-phenylcarbonyl}-amino)-4- methylsulfanylbutyric acid methyl ester;

(2S)-2-({-3-phenyl-5-[(*cis*)-3-sulfanylpyrrolidin-2-ylmethylamino]-phenylcarbonyl}-amino)-4- methylsulfanylbutyric acid;

20 (*cis*)-2-[{N-(4-methoxybenzyl)-N-(naphthalen-1-ylmethylamino)-methyl]-pyrrolidine-3-thiol ;

N-(naphthalen-1-ylmethyl)-N-[(*cis*)-3-sulfanylpyrrolidin-2-ylmethyl]-pentanamide;

N-(naphthalen-1-ylmethyl)-N-[(*cis*)-3-sulfanylpyrrolidin-2-ylmethyl]-2-(pyridin-3-yl)- acetamide ;

25 N-[(*cis*)-3-sulfanyl-pyrrolidin-2-ylmethyl)-3-methyl-N-(2-naphthalen-1-yl-ethyl)butyramide ;

N-[(*cis*)-3-sulfanyl-pyrrolidin-2-ylmethyl)-N-(2-naphthalen-1-yl-ethyl)-2-pyridin-3-yl- acetamide ;

(*cis*)-2-{{(3-methoxypropyl)-(2-naphthalen-1-ylethyl)amino)methyl}- pyrrolidine-3-thiol;

N-[(*cis*)-3-sulfanyl-pyrrolidin-2-ylmethyl)-2-(4-methoxy-phenyl)-N-(2-naphthalen-2-yl- 30 ethyl)-acetamide;

4 (cis)-2-[(2-(4-methoxyphenyl)ethyl)-(2-naphthalen-1-ylethyl)amino] methyl} - pyrrolidine-3-thiol;

5 N-(2,2-diphenyl-ethyl)-N-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl]-3-methyl-butyramide ;
N-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl]-3,3-dimethyl-N-(2-naphthalen-2-yl-ethyl)-butyramide;

10 (2S)-2-{3-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-(3-methoxy-propyl)-amino]-benzoylamino} -4-methylsulfanyl-butyric acid ;
N-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl]-3,3-dimethyl-N-(2-naphthalen-1-yl-ethyl)-butyramide;

15 (2S)-4-carbamoyl-2-({2-phenyl-5-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl}-amino)-butyric acid;

(2S)-4-carbamoyl-2-({2-phenyl-5-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl}-amino)-butyric acid methyl ester;

20 2-(3-pyridyl)-N-(2,2-diphenyl-ethyl)-N-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl)- acetamide;
6-methoxy-1-oxido-N-(2,2-diphenyl-ethyl)-N-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl]-pyridine-3-carboxamide;
N-(naphthyl-1-yl-ethyl)-N-[(cis)-3-sulfanylpyrrolidin-2yl-methyl)-thiazole-5-carboxamide;
6-methoxy-1-oxido-N-(naphthyl-1-yl-ethyl)-N-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl]-pyridine-3-carboxamide;

25 (2S)-2-{2-benzyl-4-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)amino]-benzoylamino} -4-methylsulfanyl-butyric acid;

(2S)-2-(2-methoxy-ethyl)-1-[(cis)-3-sulfanyl-pyrrolidin-2-ylmethyl)-4-naphthoyl-piperazine;

(2S)-2-{2-benzyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl)amino]-benzoylamino} -4-methylsulfanylbutyric acid;

30 (2S)-2-{phenethyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino} -4-methylsulfanylbutyric acid;

~~(2S)-2-{2-benzyl-5-[(trans)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid;~~

~~Cont (2S)-2-{2-(phenethyl)-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid;~~

5 (2S)-2-{2-(4-methylphenylethynyl)-4-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid;

(2S)-2-{2-benzyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid isopropyl ester;

(2S)-2-{2-benzyl-4-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-

10 methylsulfanylbutyric acid methyl ester;

(2S)-2-{2-benzyl-4-[(trans)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;

(2S)-2-{2-benzyl-5-[(trans)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;

15 (2S)-2-{2-phenyl-5-[(trans)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;

(2S)-2-{2-phenyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;

(2S)-2-{2-benzyl-5-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-

20 methylsulfanylbutyric acid methyl ester;

(2S)-2-{2-(4-methylphenethyl)-4-[(cis)-3-sulfanylpyrrolidin-2-ylmethylamino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;

(2S)-2-{2-(4-methylphenylethynyl)-4-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl]amino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester;

25 (2S)-2-(2-methoxyethyl)-1-[(cis)-3-sulfanylpyrrolidin-2-ylmethyl)-4-(naphth-1-oyl)piperazine;

(cis)-2-[N-isovaleryl-N-(2-(naphth-1-yl)ethyl)aminomethyl]-3-sulfanylpyrrolidine;

(cis)-2-[N-(3-pyridylacetyl)-N-(naphth-1-yl)ethyl)aminomethyl]-3-sulfanylpyrrolidine;

(cis)-2-[N-1-oxido-6-methoxypyridin-3-ylcarbonyl)-N-(naphth-1-yl)ethyl)aminomethyl]-3-

30 sulfanylpyrrolidine;

(cis)-2-[N-thiazol-5-ylcarbonyl)-N-(naphth-1-yl)ethyl)aminomethyl]-3-sulfanylpyrrolidine;

~~34 (2S)-2-[2-(4-fluorophenethyl)-4-[(cis)-3-sulfanyl]-pyrrolidin-2-ylmethylamino]benzoylamino]-4-methylsulfanylbutyric acid;~~

~~35 methyl (2S)-2-[2-(4-fluorophenethyl)-4-[(cis)-3-sulfanyl]pyrrolidin-2-ylmethylamino]benzoylamino]-4-methylsulfanylbutyrate;~~

5 (2S)-2-[2-(4-fluorophenethyl)-4-((2R,3R)-3-sulfanyl)pyrrolidin-2-ylmethylamino]benzoylamino]-5-methylsulfanylbutyric acid;

(2S)-2-{2-Benzyl-5-[(2R,3R)-3-sulfanyl]pyrrolidin-2-ylmethyl)-amino]-benzoylamino}-4-methylsulfanylbutyric acid methyl ester ;

(2S)-2-{2-Benzyl-5-[(2R,3R)-3-sulfanyl]pyrrolidin-2-ylmethyl)-amino]-benzoylamino}-4-methylsulfanylbutyric acid ;

10 (2S)-2-({2-phenyl-5-[(2R,3R)-3-sulfanyl]pyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl)-amino)-4-methylsulfanylbutyric acid methyl ester;

(2S)-2-({2-phenyl-5-[(2R,3R)-3-sulfanyl]pyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl)-amino)-4-methylsulfanylbutyric acid ;

15 (2S)-2-({3-[(2R,3R)-3-sulfanyl]pyrrolidin-2-ylmethyl)-amino]-naphthalene-1-carbonyl)-amino)-4-methylsulfanylbutyric acid methyl ester ;

(2S)-2-({3-[(2R,3R)-3-sulfanyl]pyrrolidin-2-ylmethyl)-amino]-naphthalene-1-carbonyl)-amino)-4-methylsulfanylbutyric acid ;

(2S)-2-({-3-phenyl-5-[(2R,3R)-3-sulfanyl]pyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl)-amino)-4-methylsulfanylbutyric acid methyl ester;

20 (2S)-2-({-3-phenyl-5-[(2R,3R)-3-sulfanyl]pyrrolidin-2-ylmethyl)-amino]-phenylcarbonyl)-amino)-4-methylsulfanylbutyric acid ;

(2R,3R)-2-[{N-(4-methoxybenzyl)-N-(naphthalen-1-ylmethyl)-amino}-methyl]-pyrrolidine-3-thiol ;

25 N-(naphthalen-1-ylmethyl)-N-[(2R,3R)-3-sulfanyl]pyrrolidin-2-ylmethyl)-pentanamide; N-(naphthalen-1-ylmethyl)-N-[(2R,3R)-3-sulfanyl]pyrrolidin-2-ylmethyl)-2-(pyridin-3-yl)-acetamide ;

N-((2R,3R)-3-sulfanyl)pyrrolidin-2-ylmethyl)-3-methyl-N-(2-naphthalen-1-yl-ethyl)butyramide ;

30 N-[(2R,3R)-3-sulfanyl]pyrrolidin-2-ylmethyl)-N-(2-naphthalen-1-yl-ethyl)-2-pyridin-3-yl-acetamide ;

(2R,3R)-2-[(3-Methoxypropyl)-(2-naphthalen-1-ylethyl)amino]methyl]- pyrrolidine-3-thiol;
N-([2R,3R]-3-sulfanyl-pyrrolidin-2-ylmethyl)-2-(4-methoxy-phenyl)-N-(2-naphthalen-2-yl-
ethyl)-acetamide ;

(2R,3R)-2-[(2-(4-Methoxyphenyl)ethyl)-(2-naphthalen-1-ylethyl)amino] methyl}-
5 pyrrolidine-3-thiol ;

N-(2,2-Diphenyl-ethyl)-N-([2R,3R]-3-sulfanyl-pyrrolidin-2-ylmethyl)-3-methyl-butyramide ;
N-([2R,3R]-3-sulfanyl-pyrrolidin-2-ylmethyl)-3,3-dimethyl-N-(2-naphthalen-2-yl-ethyl)-
butyramide ;

N-(2,2-Diphenyl-ethyl)-N-([2R,3R]-3-sulfanyl-pyrrolidin-2-ylmethyl)-3,3-dimethyl-
10 butyramide ;

(2S)-2-{3-[(2R,3R)-3-sulfanyl-pyrrolidin-2-ylmethyl)-(3-methoxy-propyl)-amino]-
benzoylamino}-4-methylsulfanyl-butyric acid ;

N-([2R,3R]-3-sulfanyl-pyrrolidin-2-ylmethyl)-3,3-dimethyl-N-(2-naphthalen-1-yl-ethyl)-
butyramide ;

15 (2S)-4-carbamoyl-2-(2-phenyl-5-[(2R,3R)-3-sulfanyl-pyrrolidin-2-ylmethyl)-amino]-
phenylcarbonyl)-amino)-butyric acid;

(2S)-4-carbamoyl-2-(2-phenyl-5-[(2R,3R)-3-sulfanyl-pyrrolidin-2-ylmethyl)-amino]-
phenylcarbonyl)-amino)-butyric acid methyl ester;

2-3-pyridyl)-N-(2,2-diphenyl-ethyl)-N-((2R,3R)-3-sulfanylpyrrolidin-2-ylmethyl)-
20 acetamide;

6-methoxy-1-oxido-N-(2,2-diphenyl-ethyl)-N-((2R,3R)-3-sulfanylpyrrolidin-2-ylmethyl)-
pyridine-3-carboxamide;

N-(naphthyl-1-yl-ethyl)-N-([2R,3R]-3-sulfanylpyrrolidin-2yl-methyl)-thiazole-5-
carboxamide;

25 6-methoxy-1-oxido-N-(naphthyl-1-yl-ethyl)-N-((2R,3R)-3-sulfanylpyrrolidin-2-ylmethyl)-
pyridine-3-carboxamide;

(2S)-2-{2-benzyl-4-[(2R,3R)-3-sulfanyl-pyrrolidin-2-ylmethyl)-amino]-benzoylamino}-4-
methylsulfanyl-butyric acid; and

(2S)-2-(2-methoxy-ethyl)-1-((2R,3R)-3-sulfanyl-pyrrolidin-2-ylmethyl)-4-naphthoyl-
30 piperazine.

a B 4
a C 9
a C 10

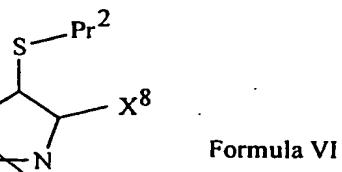
A pharmaceutical composition which comprises a compound according to any one of claims 1 to 8 and a pharmaceutically-acceptable carrier.

10. A method of inhibiting farnesylation of mutant ras gene in a patient requiring such treatment by administering an effective amount of a compound of the formula (I) to the patient.

a mon. A 2 11. A compound according to any one of claims 1 to 8 for use as a medicament.

12. A compound according to any one of claims 1 to 8 for use in the preparation of a medicament for treatment of a disease mediated through farnesylation of mutant ras.

10. 13. A process for preparing compounds of the Formula I as defined in claim 1 which comprises deprotecting a compound of Formula VI:



wherein X⁸ represents the right hand side of the Formula I as defined in claim 1, Pr¹ is H or an amino protecting group, Pr² is H or a thio protecting group and any functional groups in X⁸ are optionally protected with the proviso that there is at least one protecting group and optionally, if desired, converting the product thus obtained into a pharmaceutically-acceptable salt thereof.

act B 6
act B 7
act C 24